WEST Search History

Hide Items | Restore | Clear | Cancel

DATE: Wednesday, May 30, 2007

| Hide? | Set Name | Query | Hit Count | | | | |
|----------|------------|------------------------------------|--------------------|--|--|--|--|
| | DB=PGPB, 0 | USPT, USOC, EPAB, JPAB, DWPI; PLU. | R = YES; $OP = OR$ | | | | |
| <u> </u> | L5 | L4 and tetrahydroquinoline | 35 | | | | |
| | L4 | 514/290 | 875 | | | | |
| | L3 | L1 and tetrahydroquinoline | 18 | | | | |
| | L1 | 546/79 | 221 | | | | |

END OF SEARCH HISTORY

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1612RXD

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                Web Page for STN Seminar Schedule - N. America
NEWS
                CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS
     2 JAN 08
NEWS 3 JAN 16 CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/Caplus updated with revised CAS roles
     7 JAN 22 CA/CAplus enhanced with patent applications from India
NEWS
                PHAR reloaded with new search and display fields
     8 JAN 29
NEWS
                CAS Registry Number crossover limit increased to 300,000 in
NEWS 9 JAN 29
                multiple databases
NEWS 10 FEB 15
                PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15
                RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26
                IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
                 to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01
                New CAS web site launched
NEWS 29 MAY 08
                CA/CAplus Indian patent publication number format defined
                RDISCLOSURE on STN Easy enhanced with new search and display
NEWS 30 MAY 14
                 fields
                BIOSIS reloaded and enhanced with archival data
NEWS 31 MAY 21
                TOXCENTER enhanced with BIOSIS reload
NEWS 32 MAY 21
                CA/CAplus enhanced with additional kind codes for German
NEWS 33 MAY 21
                 patents
                CA/CAplus enhanced with IPC reclassification in Japanese
NEWS 34
        MAY 22
                 patents
```

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 13:42:08 ON 30 MAY 2007

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10522553.str

```
15 16 17 18 222
```

chain nodes :

15 17 18 21 22 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-17 17-18 18-21 21-22 22-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 7-11 8-9 8-13 9-10 9-17 11-12 12-13 17-18 18-21 21-22 22-

23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:CN,NO2

G2:Cy,CH

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

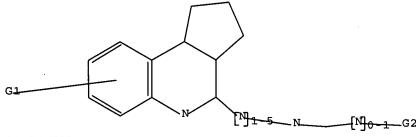
21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 CN,NO2 G2 Cy,CH

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:42:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED

2 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

2 TO 124

PROJECTED ANSWERS:

O TO

L2 0 SEA SSS SAM L1

0 10

=> s l1 ful

FULL SEARCH INITIATED 13:42:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

9 TO ITERATE

100.0% PROCESSED

9 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> file registry

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 173.00 173.21

FILE 'REGISTRY' ENTERED AT 13:44:15 ON 30 MAY 2007

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http://www.cas.org/support/stngen/stndoc/properties.html

=> Uploading C:\Program Files\Stnexp\Queries\10522553.str

$$^{G_{\overline{1}}}$$

11-12 3 3 15

chain nodes :

15 17 18 21 22 27

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-17 17-18 18-21 21-22 22-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13 17-18 18-21 21-22 22-27

exact bonds :

9-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:CN, NO2

G2:Cy,CH

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

21:CLASS 22:CLASS 27:CLASS

=> d 14 L4 HAS NO ANSWERS L4 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 13:44:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 58 TO ITERATE

100.0% PROCESSED 58 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 704 TO 1616

PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s 14 ful FULL SEARCH INITIATED 13:44:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1288 TO ITERATE

100.0% PROCESSED 1288 ITERATIONS 29 ANSWERS

SEARCH TIME: 00.00.01

L6 29 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 172.10 345.31

FILE 'CAPLUS' ENTERED AT 13:44:43 ON 30 MAY 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 30 May 2007 VOL 146 ISS 23 FILE LAST UPDATED: 29 May 2007 (20070529/ED)

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=> s 16

L7 1 L6

=> d abs bib fhitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

The title nonsteroidal tetrahydroquinoline deriv. with general formula of I [wherein R1 = NO2 or CN; n = 0 or 1; X = (un)substituted alkylene; Y = (un)substituted NHCO, NHSO2, NHCONH, or NHCSNH; R2 = (un)substituted Ph, heteroaryl, etc.] or pharmaceutically acceptable salts thereof are prepared as androgen receptor agonists, particularly on skeletal muscle tissues and bone tissues without showing any excessive effect on prostatic gland. For example, 4-aminobenzonitrile was reacted with cyclopentadiene and N-(2,2-dimethyl-3-oxopropyl)carbamic acid tert-Bu ester in MeCN in the presence of CF3CO2H, followed by hydrogenation, hydrolysis, and reacted with 4-trifluoromethoxybenzoic acid to give the amide II. The compds. I showed strong binding inhibitory activity against androgen receptor in rat. Formulations containing I as an active ingredient were also described.

AN 2004:120829 CAPLUS Full-text

DN 140:181335

TI Preparation of novel tetrahydroquinoline derivatives as androgen receptor agonists

Kaken Pharmaceutical Co., Ltd., Japan PA PCT Int. Appl., 55 pp. SO CODEN: PIXXD2 DT Patent LA Japanese FAN.CNT 1 KIND APPLICATION NO. DATE PATENT NO. ______ _____ _ - - -_____ 20040212 WO 2003-JP9815 20030801 PΙ WO 2004013104 A1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003-252333 AU 2003252333 A1 20040223 20030801 EP 2003-766703 20030801 EP 1541560 A1 20050615 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK 20051215 US 2005-522553 US 2005277660 À1 PRAI JP 2002-225300 20020801 Α WO 2003-JP9815 W 20030801 OS MARPAT 140:181335 IT 657407-46-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of novel tetrahydroquinoline derivs. as androgen receptor agonists) 657407-46-0 CAPLUS RN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-CN cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(trifluoromethoxy)-, rel-

Relative stereochemistry.

(9CI)

(CA INDEX NAME)

=> file registry
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 6.68 351.99

TOTAL

-0.78

CA SUBSCRIBER PRICE

ENTRY SESSION -0.78

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Uploading C:\Program Files\Stnexp\Queries\10522553.str

$$\downarrow_{1-5-N} \qquad \downarrow_{0-1}^{C_2}$$

chain nodes :

15 16 19 20 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-15 15-16 16-19 19-20 20-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 15-16 16-19 19-20 20-25

exact bonds :

7-11 8-13 9-15 11-12 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems : containing 1 :

G1:CN, NO2

G2:Cy,Ak,C

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 19:CLASS 20:CLASS 25:CLASS

STRUCTURE UPLOADED L8

=> d 18L8 HAS NO ANSWERS L8 STR

G2 Cy,Ak,C

Structure attributes must be viewed using STN Express query preparation.

=> s 18

SAMPLE SEARCH INITIATED 13:47:02 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 378 TO ITERATE

100.0% PROCESSED 378 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS:

8726 6394 TO

1 TO 80 PROJECTED ANSWERS:

L9 1 SEA SSS SAM L8

=> s 18 ful

FULL SEARCH INITIATED 13:47:07 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7982 TO ITERATE

100.0% PROCESSED 7982 ITERATIONS

33 ANSWERS

SEARCH TIME: 00.00.01

33 SEA SSS FUL L8 L1.0

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 172.10 524.09

FULL ESTIMATED COST

SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION

-0.78 CA SUBSCRIBER PRICE 0.00

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=> s 110

Lll 1 L10

=> s 111 not 17

L12 0 L11 NOT L7

=> file registry

TOTAL COST IN U.S. DOLLARS SINCE FILE ENTRY SESSION 524.56 0.47 FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION -0.78 CA SUBSCRIBER PRICE 0.00

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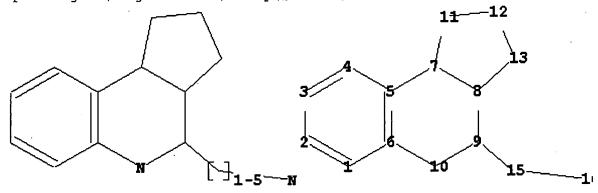
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=>

Uploading C:\Program Files\Stnexp\Queries\10522553.str



chain nodes : 15 16 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 chain bonds : 9-15 15-16 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13 exact/norm bonds : 5-7 6-10 7-8 8-9 9-10 15-16 exact bonds : 7-11 8-13 9-15 11-12 12-13 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 :

G1:CN, NO2

G2:Cy,Ak,C

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS

=> d 113

L13 HAS NO ANSWERS L13 STR

N 12-5 N

Structure attributes must be viewed using STN Express query preparation.

=> s 113

G1 CN,NO2 G2 Cy,Ak,C

SAMPLE SEARCH INITIATED 13:48:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 382 TO ITERATE

100.0% PROCESSED 382 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

6468 TO 8812

PROJECTED ANSWERS: 1 TO 80

L14 1 SEA SSS SAM L13

=> s 113 ful

FULL SEARCH INITIATED 13:48:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8053 TO ITERATE

100.0% PROCESSED 8053 ITERATIONS 35 ANSWERS

SEARCH TIME: 00.00.01

L15 35 SEA SSS FUL L13

=> d his

(FILE 'HOME' ENTERED AT 13:42:08 ON 30 MAY 2007)

FILE 'REGISTRY' ENTERED AT 13:42:24 ON 30 MAY 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FUL

FILE 'REGISTRY' ENTERED AT 13:44:15 ON 30 MAY 2007

L4 STRUCTURE UPLOADED

L5 1 S L4

L6 29 S L4 FUL

FILE 'CAPLUS' ENTERED AT 13:44:43 ON 30 MAY 2007

L7 1 S L6

FILE 'REGISTRY' ENTERED AT 13:46:40 ON 30 MAY 2007

L8 STRUCTURE UPLOADED

L9 1 S L8

L10 33 S L8 FUL

FILE 'CAPLUS' ENTERED AT 13:47:23 ON 30 MAY 2007

L11 1 S L10

L12 0 S L11 NOT L7

FILE 'REGISTRY' ENTERED AT 13:48:16 ON 30 MAY 2007

L13 STRUCTURE UPLOADED

L14 1 S L13

L15 35 S L13 FUL

=> s 115 not 110

L16 2 L15 NOT L10

=> d 1-2

L16 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 657407-81-3 REGISTRY

ED Entered STN: 03 Mar 2004

CN 1H-Cyclopenta[c]quinoline-8-carbonitrile, 4-(2-amino-1,1-dimethylethyl)-2,3,3a,4,5,9b-hexahydro-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H23 N3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 657407-80-2 REGISTRY

ED Entered STN: 03 Mar 2004

CN Carbamic acid, [2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C22 H31 N3 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|---------------------|------------------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 176.45 | 701.01 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -0.78 |

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=> SET TERMSET E#

SET COMMAND COMPLETED

=> DEL SEL Y

=> SEL L16 1 RN

E1 THROUGH E1 ASSIGNED

=> S E1/RN

L17 1 657407-81-3/RN

=> SET TERMSET LOGIN

SET COMMAND COMPLETED

=> FIL USPATFULL

| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.53 | 701.54 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -0.78 |

FILE 'USPATFULL' ENTERED AT 13:49:32 ON 30 MAY 2007
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 29 May 2007 (20070529/PD)
FILE LAST UPDATED: 29 May 2007 (20070529/ED)
HIGHEST GRANTED PATENT NUMBER: US7225469
HIGHEST APPLICATION PUBLICATION NUMBER: US2007118942
CA INDEXING IS CURRENT THROUGH 29 May 2007 (20070529/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 May 2007 (20070529/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2006

=> S L17

L18 1 L17

=> DIS L18 1

L18 ANSWER 1 OF 1 USPATFULL on STN

AN 2005:318917 USPATFULL Full-text

TI Novel tetrahydroquinoline derivatives
IN Miyakawa, Motonori, Kyoto, JAPAN
PI US 2005277660 A1 20051215
AI US 2003-522553 A1 20030801 (10)

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WO 2003-JP9815
                              20030801
                              20050201 PCT 371 date
      JP 2002-225300
                          20020801
PRAI
      Utility
DT
FS
      APPLICATION
LN.CNT 1357
INCL INCLM: 514/290.000
      INCLS: 546/088.000
      NCLM: 514/290.000
NCL
      NCLS: 546/088.000
IC
       [7]
       ICM
             C07D471-04
       ICS
             A61K031-473
             C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*]; A61K0031-473 [ICS,7]
       IPCI
             A61P0005-00 [I,C*]; A61P0005-24 [I,A]; A61P0007-00 [I,C*];
             A61P0007-00 [I,A]; A61P0007-06 [I,A]; A61P0015-00 [I,C*];
             A61P0015-00 [I,A]; A61P0015-10 [I,A]; A61P0019-00 [I,C*];
             A61P0019-10 [I,A]; A61P0035-00 [I,C*]; A61P0035-00 [I,A];
             A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07D0221-00 [I,C*];
             C07D0221-16 [I,A]; C07D0401-00 [I,C*]; C07D0401-12 [I,A];
              C07D0405-00 [I,C*]; C07D0405-12 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
=> logoff y
                                                SINCE FILE
                                                               TOTAL
COST IN U.S. DOLLARS
                                                     ENTRY
                                                              SESSION
                                                              704.03
FULL ESTIMATED COST
                                                      2.49
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
                                                SINCE FILE
                                                               TOTAL
                                                     ENTRY
                                                              SESSION
                                                       0.00
                                                                -0.78
CA SUBSCRIBER PRICE
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STN INTERNATIONAL LOGOFF AT 13:50:04 ON 30 MAY 2007

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1612RXD

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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                Web Page for STN Seminar Schedule - N. America
NEWS 1
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3 JAN 16 CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAplus updated with revised CAS roles
NEWS 7 JAN 22 CA/CAplus enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
                multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
                to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01 New CAS web site launched
NEWS 29 MAY 08 CA/Caplus Indian patent publication number format defined
NEWS 30 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display
                fields
NEWS 31 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 32 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 33 MAY 21 CA/CAplus enhanced with additional kind codes for German
                patents
                CA/CAplus enhanced with IPC reclassification in Japanese
NEWS 34 MAY 22
                patents
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NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10522553.str

```
15 16 17 18 21 22
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chain nodes :

15 17 18 21 22 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-17 17-18 18-21 21-22 22-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8.7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 7-11 8-9 8-13 9-10 9-17 11-12 12-13 17-18 18-21 21-22 22-

23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:CN, NO2

G2:Cy,CH

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

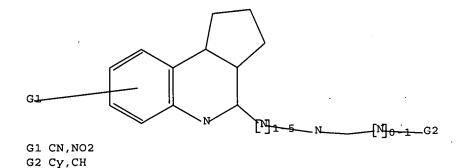
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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

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FULL SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> file registry

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 173.00 173.21

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30/05/2007 Page 1

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AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FULL ESTIMATED COST

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http://www.cas.org/support/stngen/stndoc/properties.html

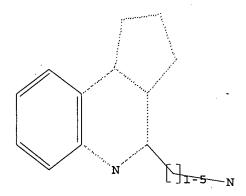
Uploading C:\Program Files\Stnexp\Queries\10522553.str

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



G1 CN,NO2 G2 Cy,Ak,C

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 382 ITERATIONS 5 ANSWERS

SEARCH TIME: 00.00.01

COMPLETE FULL FILE PROJECTIONS: ONLINE

BATCH **COMPLETE**

PROJECTED ITERATIONS: 6468 TO 8812

234 PROJECTED ANSWERS: 5 TO

L2 5 SEA SSS SAM L1

=> s l1 ful FULL SEARCH INITIATED 15:18:47 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -8053 TO ITERATE

99 ANSWERS 8053 ITERATIONS 100.0% PROCESSED

SEARCH TIME: 00.00.01

99 SEA SSS FUL L1 L3

=> file caplus SINCE FILE COST IN U.S. DOLLARS TOTAL

ENTRY SESSION FULL ESTIMATED COST 172.10 172.31

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=> s 13

L4 7 L3

=> d abs bib hitstr 1-7

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [R1 and R2 independently = (un) substituted aryl, heteroaryl or together they may form benzocycloheptane; R3 and R4 independently = H, OH, hydroxyalkyl, etc. or together they may form an oxo group; R5 = H or alkyl; Y = single bond, O or -NR7-; R6 = (un) substituted alkyl, alkenyl, alkynyl, etc.; R7 = alkyl or alkyloxycarbonylalkyl with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CB1 receptor. Thus, e.g., II was prepared by benzoylation of (3R)-1-[bis-(4-chlorophenyl)methyl]-3-aminopyrrolidine (preparation given) with 4-(trifluoromethoxy)benzoyl chloride. I as antagonists of CB1 receptor should prove useful in the treatment of diseases such as but not limited to depression, migraine and obesity. Pharmaceutical compns. comprising I are disclosed.

AN 2005:1290266 CAPLUS

- DN 144:22804
- TI Preparation of pyrrolidine derivatives as CB1 receptor antagonists
- IN Moritani, Yasunori; Furukubo, Shigeru; Tsuboi, Yasunori; Okagaki, Chieko; Oku, Akira; Hirano, Naomitsu
- PA Tanabe Seiyaku Co., Ltd., Japan
- SO PCT Int. Appl., 205 pp. CODEN: PIXXD2

DT Patent

LA English

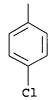
FAN.CNT 1

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APPLICATION NO.
                                                                  DATE
     PATENT NO.
                        KIND
                               DATE
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                               _ _ _ _ _ _ _
    WO 2005115977
ΡI
                        A1
                               20051208
                                           WO 2005-JP10197
                                                                  20050527
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            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG,
            NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
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SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, RW: BW, GH, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, AZ, BY, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2006219472 Α 20060824 JP 2005-155309 20050527 20070207 EP 2005-745829 20050527 EP 1748980 A1 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU CN 2005-80017310 20050527 CN 1960970 Α 20070509 PRAI JP 2004-160059 Α 20040528 US 2004-575409P P 20040601 Α 20050114 JP 2005-7833 Р 20050121 US 2005-644992P W 20050527 WO 2005-JP10197 os MARPAT 144:22804 IT 870625-81-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of pyrrolidine derivs. as CB1 receptor antagonists) 870625-81-3 CAPLUS RN3H-Cyclopenta[c]quinoline-4-carboxamide, N-[1-[bis(4-chlorophenyl)methyl]-CN 3-pyrrolidinyl]-8-bromo-3a,4,5,9b-tetrahydro- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN L4A method of treating a subject for a bacterial infection includes AB administering to a subject in need of treatment for a bacterial infection an effective amount of a cycloalkyltetrahydroquinoline compound, or a pharmaceutically acceptable salt, solvate, or hydrate thereof. The infection is caused by a bacterium that expresses phosphoenolpyruvate-UDP-N-acetyl-D-glucosamine 1-carboxyvinyltransferase (MurA, E.C. 2.1.5.7). Various cycloalkyltetrahydroquinoline compds. were prepared and tested in vitro for inhibition of MurA.

2005:259866 CAPLUS AN

DN 142:309862

Antibiotic cycloalkyltetrahydroquinoline derivatives TI

Labaudiniere, Richard F.; Xiang, Yibin; Jalluri, Ravi K.; Arvanites, IN Anthony C.

PA Oscient Pharmaceuticals, USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DT Patent

LΑ English

| FAN. | | | APPLICATION NO. | DATE | | | |
|------|--------------------------------|-----------------|-----------------------|-----------------|--|--|--|
| ΡÏ | WO 2005025556 WO 2005025556 | | WO 2004-US25937 | 20040811 | | | |
| | W: AE, AG, AL, | AM, AT, AU, AZ, | BA, BB, BG, BR, BW, B | Y, BZ, CA, CH, | | | |
| | | | DM, DZ, EC, EE, EG, E | | | | |
| | | | IN, IS, JP, KE, KG, K | | | | |
| | LK, LR, LS, | LT, LU, LV, MA, | MD, MG, MK, MN, MW, M | IX, MZ, NA, NI, | | | |
| | NO, NZ, OM, | PG, PH, PL, PT, | RO, RU, SC, SD, SE, S | G, SK, SL, SY, | | | |
| | TJ, TM, TN, | TR, TT, TZ, UA, | UG, US, UZ, VC, VN, Y | U, ZA, ZM, ZW | | | |
| | | | NA, SD, SL, SZ, TZ, U | | | | |
| | | | TM, AT, BE, BG, CH, C | | | | |
| | | | IE, IT, LU, MC, NL, P | | | | |
| | SI, SK, TR, | BF, BJ, CF, CG, | CI, CM, GA, GN, GQ, G | W, ML, MR, NE, | | | |
| | SN, TD, TG | | | | | | |
| | | | AU 2004-271932 | | | | |
| | * | | CA 2004-2534957 | | | | |
| | EP 1765784 | | EP 2004-816173 | | | | |
| | | | DK, EE, ES, FI, FR, G | B, GR, HU, IE, | | | |
| | | | RO, SE, SI, SK, TR | 00040011 | | | |
| | JP 2007513055 | | JP 2006-523309 | | | | |
| | US 2006287351 | | US 2006-568252 | 20060802 | | | |
| PRAI | US 2003-494669P | | | | | | |
| 0.7 | WO 2004-US25937 | W 20040811 | | | | | |
| OS | MARPAT 142:309862 | | | • | | | |
| IT | 848085-84-7 | | | | | | |

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cycloalkyltetrahydroquinoline antibiotics as MurA inhibitors for treatment of bacterial infections)

RN 848085-84-7 CAPLUS

3H-Cyclopenta[c]quinoline-7-carboxylic acid, 4-[(dimethylamino)carbonyl]-3a,4,5,9b-tetrahydro-(9CI) (CA INDEX NAME)

CN

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

Title compds. represented by the formula I [wherein R1 = H, C1, F, (cyclo)alkyl, alkylcycloalkyl, CF3, etc.; R2, R14 = independently CH2, (CH2)A1(CH2) or (CH2)A1(CH2)A2(CH2); a, b, c = independently 0-4; A1, A2 = independently CO, O, SO2, etc.; R3-R4, R8-R11 = independently H, amino, alkyl, halo, etc.; R12 = H, C1, CF3, (cyclyl)alkyl, etc.; R13 = H, hydroxy, alkyl, carboxylic acid, etc.; R5-R7 = independently (R14)-R12; n = 0-6; A3-A5 = independently C, N, O, S; and analogs, derivs., solvates or salts thereof] were prepared as liver-receptors (LXR) modulators. For example, reaction of 4-trifluoromethoxyphenylamine with 2,4-dichlorobenzaldehyde and cyclopentadiene gave II in 70% yield. II was

30/05/2007 Page 8

expression, HDL cholesterol plasma and liver triglyceride levels change. In addition, I were tested for binding activity with human LXR α and LXR β (Ki = 1000-3000 nM), activation of gene implicated in cholesterol efflux, etc. Thus, I and their pharmaceutical compns. are useful for the prevention or treatment of hyperlipidemia, obesity, type II diabetes, atherosclerosis, ischemic heart disease, peripheral vascular disease, cerebral vascular disease, hypercholesterolemia, hypertriglyceridemia, pancreatitis or coronary artery disease. 2004:696357 CAPLUS AN141:243351 DN Preparation of tetrahydroquinolines as nuclear receptors modulators TI Koutnikova, Hana; Sierra, Michael; Braun-Egles, Anne; Marsol, Claire; IN Klotz, Evelyne; Lehmann, Juergen PA Carex S.A., Fr. PCT Int. Appl., 166 pp. SO CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 KIND DATE APPLICATION NO. DATE PATENT NO. -----_ - - ------______ -----PI WO 2004072046 A2 20040826 WO 2004-EP1280 20040211 WO 2004072046 A3 20041021 W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRAI EP 2003-360025 Α 20030212 EP 2003-360029 Α 20030212 Р US 2003-456955P 20030325 EP 2003-360083 Α 20030704 os MARPAT 141:243351 745787-39-7P 745787-41-1P 745787-43-3P ΙT 745787-45-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of tetrahydroquinolines as nuclear receptor modulators) 745787-39-7 CAPLUS RNCN 3H-Cyclopenta[c]quinoline-4-carboxamide, N-[(2,4-dichlorophenyl)methyl]-3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

tested for dose response induction of ABCA1, FAS, SREBP1c and Angtp13 gene

RN 745787-41-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxamide, N-[(4-chlorophenyl)methyl]-3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 745787-43-3 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxamide, N-[2-(4-chlorophenyl)ethyl]-3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 745787-45-5 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxamide, N-(2-ethylphenyl)-3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

The title nonsteroidal tetrahydroquinoline derivative with general formula of I [wherein R1 = NO2 or CN; n = 0 or 1; X = (un)substituted alkylene; Y = (un)substituted NHCO, NHSO2, NHCONH, or NHCSNH; R2 = (un)substituted Ph, heteroaryl, etc.] or pharmaceutically acceptable salts thereof are prepared as androgen receptor agonists, particularly on skeletal muscle tissues and bone tissues without showing any excessive effect on prostatic gland. For example, 4-aminobenzonitrile was reacted with cyclopentadiene and N-(2,2-dimethyl-3-oxopropyl)carbamic acid tert-Bu ester in MeCN in the presence of CF3CO2H, followed by hydrogenation, hydrolysis, and reacted with 4-trifluoromethoxybenzoic acid to give the amide II. The compds. I showed strong binding inhibitory activity against androgen receptor in rat. Formulations containing I as an active ingredient were also described.

AN 2004:120829 CAPLUS

DN 140:181335

TI Preparation of novel tetrahydroquinoline derivatives as androgen receptor agonists

IN Miyakawa, Motonori; Oguro, Nao; Hanada, Keigo; Furuya, Kazuyuki; Yamamoto,

PA Kaken Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

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| | | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG |
| | AU 2003252333 | | | | A1 20040223 AU 2003-252333 | | | | | | 20030801 | | | | | | | |
| | EP 1541560 | | | | | A1 | • | 2005 | 0615 | | EP 2 | 003- | 7667 | 03 | | 2 | 0030 | 801 |

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     657407-73-3P 657407-74-4P 657407-75-5P
     657407-76-6P 657407-77-7P 657407-78-8P
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     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of novel tetrahydroquinoline derivs. as
        androgen receptor agonists)
RN
     657407-46-0 CAPLUS
CN
     Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-
     cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(trifluoromethoxy)-, rel-
           (CA INDEX NAME)
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Relative stereochemistry.

RN 657407-47-1 CAPLUS

CN Benzamide, 4-chloro-N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-48-2 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-iodo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-49-3 CAPLUS

CN Benzamide, 4-acetyl-N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-50-6 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-methoxy-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-51-7 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(difluoromethoxy)-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-52-8 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-ethoxy-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-53-9 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(2,2,2-trifluoroethoxy)-, rel-(9CI) (CA INDEX NAME)

RN 657407-54-0 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-propoxy-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-55-1 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(1-methylethoxy)-, rel-(9CI) (CA INDEX NAME)

RN 657407-56-2 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-ethoxy-3-fluoro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-57-3 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-ethoxy-3-methoxy-, rel-(9CI) (CA INDEX NAME)

RN 657407-58-4 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(2-methoxyethoxy)-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-59-5 CAPLUS

CN Benzamide, 4-(acetyloxy)-N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

RN 657407-60-8 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(dimethylamino)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-61-9 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(formylamino)-, rel-(9CI) (CA INDEX NAME)

RN 657407-62-0 CAPLUS

CN Benzamide, 4-(acetylamino)-N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-63-1 CAPLUS

CN Benzamide, 3-(acetylamino)-N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

RN 657407-64-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-65-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-ethoxy-, rel- (9CI) (CA INDEX NAME)

RN 657407-66-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-(2,2,2-trifluoroethoxy)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-67-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-(methoxymethoxy)-, rel-(9CI) (CA INDEX NAME)

RN 657407-68-6 CAPLUS

CN 3-Pyridinecarboxamide, 6-(acetylamino)-N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-69-7 CAPLUS

CN 2-Furancarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

RN 657407-70-0 CAPLUS

CN 3-Furancarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-lH-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-71-1 CAPLUS

CN 2-Butynamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

RN 657407-72-2 CAPLUS

CN 2-Hexynamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-73-3 CAPLUS

CN 2-Nonynamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Me (CH₂) 5
$$-$$
 C $=$ C $=$ C $=$ Me

RN 657407-74-4 CAPLUS CN 2-Propynamide, N-[2-[(3aR,4S,9b

N 2-Propynamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-3-phenyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} CN \\ H \\ S \\ R \\ S \\ NH \\ Me \\ \\ O \\ \end{array}$$

RN 657407-75-5 CAPLUS

CN Urea, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-N'-(3,4-dichlorophenyl)-, rel-(9CI) (CA INDEX NAME)

RN 657407-76-6 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-77-7 CAPLUS
CN Urea, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-N'-(3-methylphenyl)-, rel-(9CI) (CA INDEX NAME)

RN 657407-78-8 CAPLUS
CN Urea, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-N'-[3-(trifluoromethyl)phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

rel- (9CI) (CA INDEX NAME)

IT 657407-79-9P 657407-80-2P 657407-81-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of novel tetrahydroquinoline derivs. as androgen receptor agonists)
RN 657407-79-9 CAPLUS
CN Carbamic acid, [2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, 1,1-dimethylethyl ester,

Relative stereochemistry.

RN 657407-80-2 CAPLUS

CN Carbamic acid, [2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, 1,1-dimethylethyl ester, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 657407-81-3 CAPLUS

CN 1H-Cyclopenta[c]quinoline-8-carbonitrile, 4-(2-amino-1,1-dimethylethyl)-2,3,3a,4,5,9b-hexahydro-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

The title nonsteroidal tetrahydroquinoline derivs. with general formula of I [wherein R1 = NO2 or CN; X = CH or O; m = 0 or 1; Y = (un)substituted alkylene; R2 = H, alkyl, cycloalkyl, or aralkyl; Z = (un)substituted alkyl, aryl, etc.] or pharmaceutically acceptable salts thereof are prepared as androgen receptor agonists. For example, the compound II was prepared in a three-step synthesis starting from 4-nitroaniline, cyclopentadiene, and tert-Bu N-(2,2,-dimethyl-3-oxopropyl)carbamate. II showed relative binding affinity of 1076 against androgen receptor in rat. Formulations containing I as an active ingredient were also described.

AN 2004:2862 CAPLUS

DN 140:59527

TI Preparation of bicyclic tetrahydroquinoline derivatives as androgen receptor agonists

IN Miyakawa, Motonori; Sumita, Yuji; Furuya, Kazuyuki; Ichikawa, Kiyonoshin; Yamamoto, Noriko; Hanada, Keigo; Amano, Seiji; Nejishima, Hiroaki

PA Kaken Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 85 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2004000816 A1 20031231 WO 2003-JP7799 20030619

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     637333-99-4P 637334-00-0P 637334-01-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of bicyclic tetrahydroquinoline derivs. as
        androgen receptor agonists)
     637332-77-5 CAPLUS
RN
     Acetamide, 2-methoxy-N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-
CN
     nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

RN 637332-79-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

RN 637332-81-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637332-83-3 CAPLUS

CN 4-Pyridinecarboxamide, N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

RN 637332-85-5 CAPLUS

CN 2-Furancarboxamide, N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637332-87-7 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

RN 637332-89-9 CAPLUS

CN Acetamide, N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-2-phenoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637332-91-3 CAPLUS

CN Acetamide, N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-2-(phenylmethoxy)-, rel-(9CI) (CA INDEX NAME)

RN 637333-15-4 CAPLUS

CN Acetamide, 2-ethoxy-N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-16-5 CAPLUS

CN Acetamide, 2-hydroxy-N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

RN 637333-17-6 CAPLUS

CN Propanamide, 2-methoxy-N-[2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-86-9 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(trifluoromethoxy)-, rel-(9CI) (CA INDEX NAME)

RN 637333-87-0 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(formylamino)-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-88-1 CAPLUS

CN Benzamide, 4-(acetylamino)-N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

RN 637333-89-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-90-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-methyl-, rel- (9CI) (CA INDEX NAME)

RN 637333-91-6 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-92-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-1,6-dihydro-6-oxo-, rel- (9CI) (CA INDEX NAME)

RN 637333-93-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-94-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-ethoxy-, rel- (9CI) (CA INDEX NAME)

RN 637333-95-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-(2,2,2-trifluoroethoxy)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-96-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-6-(methoxymethoxy)-, rel-(9CI) (CA INDEX NAME)

RN 637333-97-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-(acetylamino)-N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637333-98-3 CAPLUS

CN Pyrazinecarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

RN 637333-99-4 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 637334-00-0 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-1-methyl-, rel- (9CI) (CA INDEX NAME)

RN 637334-01-1 CAPLUS

CN 2-Furancarboxamide, N-[2-[(3aR,4S,9bS)-8-cyano-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 637334-21-5P 637334-22-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic tetrahydroquinoline derivs. as androgen receptor agonists)

RN 637334-21-5 CAPLUS

CN Carbamic acid, [2-methyl-2-[(3aR,4S,9bS)-3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl]propyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

RN 637334-22-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-ethanamine, 3a,4,5,9b-tetrahydro- β , β -dimethyl-8-nitro-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

Me
$$\frac{1}{NH}$$
 $\frac{1}{NH}$ $\frac{1}{NR^3}$ $\frac{1}{N}$ $\frac{1}{N$

Imines derived from 4-oxoazetidine-2-carbaldehydes have been found to be AB versatile Diels-Alder reagents in that they exhibit two reactivity patterns. 2-Azetidinone-tethered imines such as I (R1 = p-anisyl, p-tolyl, allyl; R2 = Ph, benzyloxy, MeO; R3 = p-anisyl, benzyl) undergo diastereoselective reaction with Danishefsky's diene in the presence of different Lewis acids. The effect of the amount of catalyst on the conversion rate as well as on the product ratio has been studied. Under standard reaction conditions, indium(III) chloride and zinc(II) iodide provided the best yields, and indium(III) triflate the highest diastereoselectivity in the Lewis acid promoted aza-Diels-Alder cycloaddn. Treatment of the aforementioned imines with cyclopentadiene, 2,3-dimethyl-1,3-butadiene or 3,4-dihydro-2H-pyran led to cycloadducts arising from inverse electron-demand condensation involving the β -lactam-tethered aryl imine as the heterodiene component. In addition, the first methodol. for preparing indolizidines, e.g., II, from β -lactams has been developed. This process involves amide bond cleavage of the β -lactam ring in the aza-Diels-Alder cycloadducts with concomitant cyclization. Full chirality transfer occurs when the reaction is performed with an enantiomerically pure substrate.

AN 2003:603224 CAPLUS

DN 139:276789

Useful dual Diels-Alder behavior of 2-azetidinone-tethered aryl imines as azadienophiles or azadienes: a β -lactam-based stereocontrolled access to optically pure, highly functionalized indolizidine systems

AU Alcaide, Benito; Almendros, Pedro; Alonso, Jose M.; Aly, Moustafa F.

CS Departamento de Quimica Organica I Facultad de Quimica Universidad Complutense de Madrid, Madrid, 28040, Spain

SO Chemistry--A European Journal (2003), 9(14), 3415-3426 CODEN: CEUJED; ISSN: 0947-6539

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 139:276789

IT 604788-73-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(dual Diels-Alder behavior of 2-azetidinone-tethered aryl imines as azadienophiles or azadienes and β -lactam-based stereocontrolled access to optically pure, highly functionalized indolizidines)

RN 604788-73-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-propanoic acid, 3a,4,5,9b-tetrahydro-α,8dimethoxy-β-[(4-methoxyphenyl)amino]-, methyl ester,
(αR,βS,3aR,4S,9bS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

$$O_2N$$
OH
$$Me$$

$$Me$$

$$II$$

Title compds. [I; R1 = 3-NO2, 3-CN, 2-NO2, 3-CH3S, 3-CH3SO, 3-CH3SO2,; R2 = H, 1-OH; R3 = TBDPS, H, CH2OCH3, CH3, CH2CH3, 4-FC6H4, COCH3, (CH3)2CH; n = 0, 1; X = CH, CH2, O; dotted bond = single, double; Y = (CH3)2C, CH2CH2, Z = NHCONH, O, NHCSNH, SO, SO2 S, NHCO] or salts thereof, having a specific and strong binding affinity for AR and exhibiting AR agonism or antagonism; and drug compns. containing the derivs. or the salts, are prepared Thus, the title compound II was prepared and biol. tested.

AN 2001:283930 CAPLUS

DN 134:295752

30/05/2007 Page 48

```
Preparation of tetrahydroquinoline derivatives as androgen receptor
     regulators
     Hanada, Keigo; Furuya, Kazuyuki; Inoguchi, Kiyoshi; Miyakawa, Motonori;
IN
     Nagata, Naoya
     Kaken Pharmaceutical Co., Ltd., Japan
PA
     PCT Int. Appl., 56 pp.
SO
     CODEN: PIXXD2
DT
     Patent
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     Japanese
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     PATENT NO.
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     EP 1221439
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     EP 1221439
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             IE, SI, LT, LV, FI, RO, MK, CY, AL
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     AT 350036
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                                             US 2002-110636
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     MARPAT 134:295752
ΙT
     334875-94-4P 334875-96-6P 334876-09-4P
     334876-11-8P 334876-13-0P 334876-17-4P
     334876-19-6P 334876-27-6P 334876-29-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (preparation of tetrahydroquinoline derivs. as androgen receptor regulators)
RN
     334875-94-4 CAPLUS
     Acetamide, N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-
CN
     cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)
```

RN

334875-96-6 CAPLUS Propanamide, 2-methyl-N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-CN cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-09-4 CAPLUS

Methanesulfonamide, N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-CNcyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

334876-11-8 CAPLUS RN

Ethanesulfonamide, N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-CNcyclopenta[c]quinolin-4-yl)propyl] - (9CI) (CA INDEX NAME)

334876-13-0 CAPLUS RN

Benzenesulfonamide, 4-fluoro-N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-CN

3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-17-4 CAPLUS

CN Acetamide, N-[4-[[[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 334876-19-6 CAPLUS

CN Urea, N-(1-methylethyl)-N'-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-27-6 CAPLUS

CN Thiourea, N-ethyl-N'-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-29-8 CAPLUS

CN Thiourea, N-(1-methylethyl)-N'-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

IT 334875-40-0P 334875-42-2P 334875-89-7P 334905-51-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydroquinoline derivs. as androgen receptor regulators)

RN 334875-40-0 CAPLUS

Carbamic acid, [2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-CN yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

334875-42-2 CAPLUS RN

Carbamic acid, [2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-CNcyclopenta[c]quinolin-4-yl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

334875-89-7 CAPLUS RN

3H-Cyclopenta[c]quinoline-4-ethanamine, 3a,4,5,9b-tetrahydro-8-nitro-CN (9CI) (CA INDEX NAME)

 $H_2N-CH_2-CH_2$

RN334905-51-0 CAPLUS

CN3H-Cyclopenta[c]quinoline-4-ethanamine, 3a, 4, 5, 9b-tetrahydro- β , β - dimethyl-8-nitro- (9CI) (CA INDEX NAME)

RN 334875-92-2 CAPLUS
CN Acetamide, N-[2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 334875-98-8 CAPLUS

CN Butanamide, 3-methyl-N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-00-5 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-02-7 CAPLUS

CN Benzamide, N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-04-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-

3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN

334876-07-2 CAPLUS Acetic acid, [[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-CN cyclopenta[c]quinolin-4-yl)propyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 334876-15-2 CAPLUS

Benzenesulfonamide, 4-chloro-N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-CN3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-21-0 CAPLUS

CN Urea, N-(3-methylphenyl)-N'-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Me

RN 334876-23-2 CAPLUS

CN Urea, N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]-N'-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

NO₂

RN 334876-25-4 CAPLUS

CN Thiourea, N-methyl-N'-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-31-2 CAPLUS

CN Thiourea, N-cyclohexyl-N'-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

RN 334876-33-4 CAPLUS

CN Thiourea, N-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 334876-35-6 CAPLUS

CN Thiourea, N-(4-bromophenyl)-N'-[2-methyl-2-(3a,4,5,9b-tetrahydro-8-nitro-3H-cyclopenta[c]quinolin-4-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

30/05/2007 Page 60

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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LAST RELOADED: May 25, 2007 (20070525/UP).

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9-17 17-18 18-21 21-22 22-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13 17-18 18-21 21-22 22-27

exact bonds :

9-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:CN, NO2

G2:Cy,CH

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

21:CLASS 22:CLASS 27:CLASS

=> d 14 L4 HAS NO ANSWERS L4 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 13:44:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 58 TO ITERATE

100.0% PROCESSED 58 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 704 TO 1616

PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s 14 ful FULL SEARCH INITIATED 13:44:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1288 TO ITERATE

100.0% PROCESSED 1288 ITERATIONS 29 ANSWERS

SEARCH TIME: 00.00.01

L6 29 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 172.10 345.31

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=> s 16

L7 1 L6

=> d abs bib fhitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

The title nonsteroidal tetrahydroquinoline deriv. with general formula of I [wherein R1 = NO2 or CN; n = 0 or 1; X = (un)substituted alkylene; Y = (un)substituted NHCO, NHSO2, NHCONH, or NHCSNH; R2 = (un)substituted Ph, heteroaryl, etc.] or pharmaceutically acceptable salts thereof are prepared as androgen receptor agonists, particularly on skeletal muscle tissues and bone tissues without showing any excessive effect on prostatic gland. For example, 4-aminobenzonitrile was reacted with cyclopentadiene and N-(2,2-dimethyl-3-oxopropyl)carbamic acid tert-Bu ester in MeCN in the presence of CF3CO2H, followed by hydrogenation, hydrolysis, and reacted with 4-trifluoromethoxybenzoic acid to give the amide II. The compds. I showed strong binding inhibitory activity against androgen receptor in rat. Formulations containing I as an active ingredient were also described.

AN 2004:120829 CAPLUS Full-text

DN 140:181335

TI Preparation of novel tetrahydroquinoline derivatives as androgen receptor agonists

IN Miyakawa, Motonori; Oguro, Nao; Hanada, Keigo; Furuya, Kazuyuki; Yamamoto, Noriko PA Kaken Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| PATENT NO. KIND DATE APPLICATION NO. DATE | | | | | | | | | | 1 DD1 T01 MT011 110 | | | | | | 12.3 mm | | | |
|--|------|----------------|------|------|-----|----------|------|------|----------------|---------------------|-----|-----|-----|----------|-----|---------|-----|-----|-----|
| PI WO 2004013104 | | PATENT NO. | | | | | | | | | | | | DATE | | | | | |
| CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF; CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003252333 A1 20050615 EP 2003-766703 20030801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20020801 WO 2003-JP9815 W 20030801 | PI | WO 2004013104 | | | | | | | | | | | | 20030801 | | | | | |
| GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003252333 A1 20040223 AU 2003-252333 20030801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20020801 WO 2003-JP9815 W 20030801 | | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003252333 A1 20040223 AU 2003-252333 20030801 EP 1541560 A1 20050615 EP 2003-766703 20030801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20050801 PRAI JP 2002-225300 A 20020801 WO 2003-JP9815 W 20030801 | | | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DΖ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003252333 A1 20040223 AU 2003-252333 20030801 EP 1541560 A1 20050615 EP 2003-766703 20030801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20050801 PRAI JP 2002-225300 A 20020801 WO 2003-JP9815 W 20030801 | | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, |
| PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003252333 A1 20040223 AU 2003-252333 20030801 EP 1541560 A1 20050615 EP 2003-766703 20030801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20020801 PRAI JP 2002-225300 A 20020801 WO 2003-JP9815 W 20030801 | | | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, |
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| AU 2003252333 A1 20040223 AU 2003-252333 20030801 EP 1541560 A1 20050615 EP 2003-766703 20030801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20051215 US 2005-522553 20050201 PRAI JP 2002-225300 A 20020801 WO 2003-JP9815 W 20030801 | | | | | | | | | | | | | | | | | | | |
| AU 2003252333 A1 20040223 AU 2003-252333 20030801 EP 1541560 A1 20050615 EP 2003-766703 20030801 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20051215 US 2005-522553 20050201 PRAI JP 2002-225300 A 20020801 WO 2003-JP9815 W 20030801 | | | | BF, | ВJ, | CF; | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
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| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2005277660 A1 20051215 US 2005-522553 20050201 PRAI JP 2002-225300 A 20020801 WO 2003-JP9815 W 20030801 | | | R: | AT, | ВĖ, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| PRAI JP 2002-225300 A 20020801 WO 2003-JP9815 W 20030801 | | | | | | | | | | | | | | | | | | | |
| WO 2003-JP9815 W 20030801 | | | | | | | | | | | | | | | | | | | |
| | PRAI | JP 2002-225300 | | | Α | | 2002 | 0801 | | | | | | | • | | | | |
| OS MARPAT 140:181335 | | WO | 2003 | -JP9 | 815 | | W | | 2003 | 0801 | | | | | | | | | • |
| | os | | | | | | | | | | | | | | | | | | |
| IT 657407-46-0P | тт | | | | | | | | | | | | | | | | | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of novel tetrahydroquinoline derivs. as androgen receptor agonists)

RN 657407-46-0 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(trifluoromethoxy)-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 6.68 351.99

FULL ESTIMATED COST

SINCE FILE

TOTAL

ENTRY -0.78 SESSION -0.78

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DICTIONARY FILE UPDATES: 29 MAY 2007 HIGHEST RN 936074-26-9

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10522553.str

chain nodes :

15 16 19 20 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-15 15-16 16-19 19-20 20-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 15-16 16-19 19-20 20-25

exact bonds :

7-11 8-13 9-15 11-12 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :
containing 1 :

G1:CN, NO2

G2:Cy,Ak,C

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 19:CLASS 20:CLASS 25:CLASS

L8 STRUCTURE UPLOADED

=> d 18 L8 HAS NO ANSWERS L8 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 18

SAMPLE SEARCH INITIATED 13:47:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 378 TO ITERATE

100.0% PROCESSED 378 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 6394 TO 8726

PROJECTED ANSWERS: 1 TO 80

L9 1 SEA SSS SAM L8

=> s 18 ful FULL SEARCH INITIATED 13:47:07 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 7982 TO ITERATE

100.0% PROCESSED 7982 ITERATIONS 33 ANSWERS

SEARCH TIME: 00.00.01

L10 33 SEA SSS FUL L8

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
172 10 524 09

FULL ESTIMATED COST 172.10 524.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

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http://www.cas.org/infopolicy.html

=> s 110

L11 1 L10

=> s 111 not 17

L12 0 L11 NOT L7

=> file registry

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.47 524.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL

ENTRY SESSION

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STRUCTURE FILE UPDATES: 29 MAY 2007 HIGHEST RN 936074-26-9 DICTIONARY FILE UPDATES: 29 MAY 2007 HIGHEST RN 936074-26-9

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

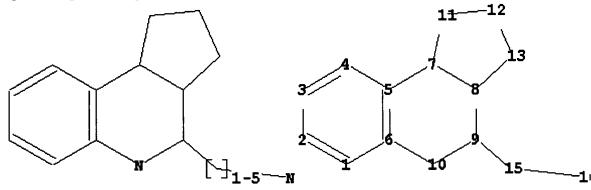
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10522553.str



chain nodes :

15 16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds : 9-15 15-16 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 15-16

exact bonds :

7-11 8-13 9-15 11-12 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:CN, NO2

G2:Cy,Ak,C

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS

=> d 113 L13 HAS NO ANSWERS

L13 STR

G1 CN,NO2 G2 Cy,Ak,C

Structure attributes must be viewed using STN Express query preparation.

=> s 113

SAMPLE SEARCH INITIATED 13:48:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 382 TO ITERATE

100.0% PROCESSED 382 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 6468 TO 8812

PROJECTED ANSWERS: 1 TO 80

L14 1 SEA SSS SAM L13

=> s 113 ful

FULL SEARCH INITIATED 13:48:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 8053 TO ITERATE

100.0% PROCESSED 8053 ITERATIONS 35 ANSWERS

SEARCH TIME: 00.00.01

L15 35 SEA SSS FUL L13

=> d his

(FILE 'HOME' ENTERED AT 13:42:08 ON 30 MAY 2007)

FILE 'REGISTRY' ENTERED AT 13:42:24 ON 30 MAY 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FUL

FILE 'REGISTRY' ENTERED AT 13:44:15 ON 30 MAY 2007

L4 STRUCTURE UPLOADED

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L5 1 S L4
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L6 29 S L4 FUL

FILE 'CAPLUS' ENTERED AT 13:44:43 ON 30 MAY 2007

L7 1 S L6

FILE 'REGISTRY' ENTERED AT 13:46:40 ON 30 MAY 2007

L8 STRUCTURE UPLOADED

L9 1 S L8

L10 33 S L8 FUL

FILE 'CAPLUS' ENTERED AT 13:47:23 ON 30 MAY 2007

L11 1 S L10

L12 0 S L11 NOT L7

FILE 'REGISTRY' ENTERED AT 13:48:16 ON 30 MAY 2007

L13 STRUCTURE UPLOADED

L14 1 S L13

L15 35 S L13 FUL

=> s 115 not 110

L16 2 L15 NOT L10

=> d 1-2

L16 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 657407-81-3 REGISTRY

ED Entered STN: 03 Mar 2004

CN 1H-Cyclopenta[c]quinoline-8-carbonitrile, 4-(2-amino-1,1-dimethylethyl)-2,3,3a,4,5,9b-hexahydro-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H23 N3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 657407-80-2 REGISTRY

ED Entered STN: 03 Mar 2004

CN Carbamic acid, [2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C22 H31 N3 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 176.45 | 701.01 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -0.78 |

FILE 'REGISTRY' ENTERED AT 13:49:28 ON 30 MAY 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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http://www.cas.org/support/stngen/stndoc/properties.html

=> SET TERMSET E#

SET COMMAND COMPLETED

=> DEL SEL Y

=> SEL L16 1 RN

E1 THROUGH E1 ASSIGNED

=> S E1/RN

L17 1 657407-81-3/RN

=> SET TERMSET LOGIN

SET COMMAND COMPLETED

=> FIL USPATFULL

TOTAL COST IN U.S. DOLLARS SINCE FILE ENTRY SESSION 701.54 FULL ESTIMATED COST 0.53 SINCE FILE DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL ENTRY SESSION 0.00 -0.78 CA SUBSCRIBER PRICE

FILE 'USPATFULL' ENTERED AT 13:49:32 ON 30 MAY 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 29 May 2007 (20070529/PD)
FILE LAST UPDATED: 29 May 2007 (20070529/ED)
HIGHEST GRANTED PATENT NUMBER: US7225469
HIGHEST APPLICATION PUBLICATION NUMBER: US2007118942
CA INDEXING IS CURRENT THROUGH 29 May 2007 (20070529/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 May 2007 (20070529/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2006

=> S L17

L18 1 L17

=> DIS L18 1

L18 ANSWER 1 OF 1 USPATFULL on STN

AN 2005:318917 USPATFULL Full-text

TI Novel tetrahydroquinoline derivatives
IN Miyakawa, Motonori, Kyoto, JAPAN
PI US 2005277660 A1 20051215
AI US 2003-522553 A1 20030801 (10)

WO 2003-JP9815 20030801 20050201 PCT 371 date 20020801 PRAI JP 2002-225300 DTUtility FS APPLICATION LN.CNT 1357 INCL INCLM: 514/290.000 INCLS: 546/088.000 NCLM: 514/290.000 NCL NCLS: 546/088.000 IC [7] ICM C07D471-04 ICS A61K031-473 C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*]; A61K0031-473 [ICS,7] IPCI A61P0005-00 [I,C*]; A61P0005-24 [I,A]; A61P0007-00 [I,C*]; IPCR A61P0007-00 [I,A]; A61P0007-06 [I,A]; A61P0015-00 [I,C*]; A61P0015-00 [I,A]; A61P0015-10 [I,A]; A61P0019-00 [I,C*]; A61P0019-10 [I,A]; A61P0035-00 [I,C*]; A61P0035-00 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07D0221-00 [I,C*]; C07D0221-16 [I,A]; C07D0401-00 [I,C*]; C07D0401-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A] CAS INDEXING IS AVAILABLE FOR THIS PATENT. => logoff y · SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 2.49 704.03 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -0.78 CA SUBSCRIBER PRICE 0.00

STN INTERNATIONAL LOGOFF AT 13:50:04 ON 30 MAY 2007

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1612RXD

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
* * * * * * * *
                     Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS 1
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3 JAN 16 CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAplus updated with revised CAS roles
NEWS 7 JAN 22 CA/CAplus enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
                 multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
                 to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01 New CAS web site launched
NEWS 29 MAY 08 CA/Caplus Indian patent publication number format defined
NEWS 30 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display
                 fields
NEWS 31 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 32 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 33 MAY 21 CA/Caplus enhanced with additional kind codes for German
                 patents
                 CA/CAplus enhanced with IPC reclassification in Japanese
NEWS 34 MAY 22
                 patents
```

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FILE 'HOME' ENTERED AT 13:42:08 ON 30 MAY 2007

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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Uploading C:\Program Files\Stnexp\Queries\10522553.str

chain nodes :

15 17 18 21 22 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-17 17-18 18-21 21-22 22-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 7-11 8-9 8-13 9-10 9-17 11-12 12-13 17-18 18-21 21-22 22-

23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:CN, NO2

G2:Cy,CH

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

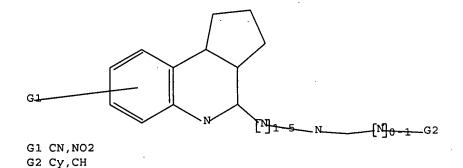
21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:42:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 13:42:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> file registry

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 173.00 173.21

FILE 'REGISTRY' ENTERED AT 13:44:15 ON 30 MAY 2007

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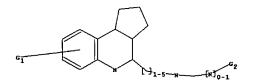
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=>

Uploading C:\Program Files\Stnexp\Queries\10522553.str



11-12 3 15 16 17 18-21-22

chain nodes :

15 17 18 21 22 27

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-17 17-18 18-21 21-22 22-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13 17-18 18-21 21-22 22-27

exact bonds :

9-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:CN, NO2

G2:Cy,CH

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

21:CLASS 22:CLASS 27:CLASS

=> d 14 L4 HAS NO ANSWERS L4 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 13:44:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 58 TO ITERATE

100.0% PROCESSED 58 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 704 TO 1616

PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s 14 ful FULL SEARCH INITIATED 13:44:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1288 TO ITERATE

100.0% PROCESSED 1288 ITERATIONS 29 ANSWERS

SEARCH TIME: 00.00.01

L6 29 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 172.10 345.31

FILE 'CAPLUS' ENTERED AT 13:44:43 ON 30 MAY 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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=> s 16

L7 1 L6

=> d abs bib fhitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

The title nonsteroidal tetrahydroquinoline deriv. with general formula of I [wherein R1 = NO2 or CN; n = 0 or 1; X = (un)substituted alkylene; Y = (un)substituted NHCO, NHSO2, NHCONH, or NHCSNH; R2 = (un)substituted Ph, heteroaryl, etc.] or pharmaceutically acceptable salts thereof are prepared as androgen receptor agonists, particularly on skeletal muscle tissues and bone tissues without showing any excessive effect on prostatic gland. For example, 4-aminobenzonitrile was reacted with cyclopentadiene and N-(2,2-dimethyl-3-oxopropyl)carbamic acid tert-Bu ester in MeCN in the presence of CF3CO2H, followed by hydrogenation, hydrolysis, and reacted with 4-trifluoromethoxybenzoic acid to give the amide II. The compds. I showed strong binding inhibitory activity against androgen receptor in rat. Formulations containing I as an active ingredient were also described.

AN 2004:120829 CAPLUS Full-text

DN 140:181335

TI Preparation of novel tetrahydroquinoline derivatives as androgen receptor agonists

IN Miyakawa, Motonori; Oguro, Nao; Hanada, Keigo; Furuya, Kazuyuki; Yamamoto, Noriko

Kaken Pharmaceutical Co., Ltd., Japan PΑ SO PCT Int. Appl., 55 pp. CODEN: PIXXD2 DT Patent Japanese LA FAN.CNT 1 APPLICATION NO. DATE PATENT NO. KIND DATE ---------_____ _____ 20030801 20040212 WO 2003-JP9815 ΡI WO 2004013104 A1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003252333 **A1** 20040223 AU 2003-252333 20030801 20030801 20050615 EP 2003-766703 EP 1541560 A1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK 20051215 US 2005-522553 US 2005277660 A1 PRAI JP 2002-225300 20020801 Α 20030801 WO 2003-JP9815 W OS MARPAT 140:181335 IT 657407-46-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of novel tetrahydroquinoline derivs. as androgen receptor agonists)

RN 657407-46-0 CAPLUS

CN Benzamide, N-[2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-4-(trifluoromethoxy)-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

=> file registry
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 6.68 351.99

SINCE FILE

TOTAL

ENTRY -0.78 SESSION -0.78

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=>

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3 3 3 13 13 15 16 19 20 20

chain nodes :

15 16 19 20 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

9-15 15-16 16-19 19-20 20-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 15-16 16-19 19-20 20-25

exact bonds :

7-11 8-13 9-15 11-12 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :
containing 1 :

G1:CN, NO2

G2:Cy,Ak,C

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 19:CLASS 20:CLASS 25:CLASS

L8 STRUCTURE UPLOADED

=> d 18 L8 HAS NO ANSWERS L8 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 18

SAMPLE SEARCH INITIATED 13:47:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 378 TO ITERATE

100.0% PROCESSED 378 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS:

6394 TO 8726

PROJECTED ANSWERS: 1 TO 80

L9 1 SEA SSS SAM L8

=> s 18 ful

FULL SEARCH INITIATED 13:47:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7982 TO ITERATE

100.0% PROCESSED 7982 ITERATIONS

33 ANSWERS

SEARCH TIME: 00.00.01

33 SEA SSS FUL L8 L10

=> file caplus

SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 172.10 524.09 FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY SESSION 0.00 -0.78 CA SUBSCRIBER PRICE

TOTAL

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=> s 110

L11 1 L10

=> s 111 not 17

0 L11 NOT L7 L12

=> file registry

TOTAL COST IN U.S. DOLLARS SINCE FILE ENTRY SESSION 0.47 524.56 FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION -0.78 0.00 CA SUBSCRIBER PRICE

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

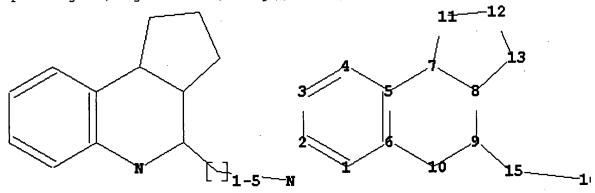
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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chain nodes : 15 16 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 chain bonds : 9-15 15-16 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13 exact/norm bonds : 5-7 6-10 7-8 8-9 9-10 15-16 exact bonds : 7-11 8-13 9-15 11-12 12-13. normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 :

G1:CN, NO2

G2:Cy,Ak,C

Match level:
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS

=> d l13

L13 HAS NO ANSWERS

L13 STR

N 131-5 N

G1 CN,NO2 G2 Cy,Ak,C

Structure attributes must be viewed using STN Express query preparation.

=> s 113

SAMPLE SEARCH INITIATED 13:48:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 382 TO ITERATE

100.0% PROCESSED 382 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 6468 TO 8812

PROJECTED ANSWERS: 1 TO 80

L14 1 SEA SSS SAM L13

=> s 113 ful

FULL SEARCH INITIATED 13:48:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8053 TO ITERATE

100.0% PROCESSED 8053 ITERATIONS 35 ANSWERS

SEARCH TIME: 00.00.01

L15 35 SEA SSS FUL L13

=> d his

(FILE 'HOME' ENTERED AT 13:42:08 ON 30 MAY 2007)

FILE 'REGISTRY' ENTERED AT 13:42:24 ON 30 MAY 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FUL

FILE 'REGISTRY' ENTERED AT 13:44:15 ON 30 MAY 2007

L4 STRUCTURE UPLOADED

```
L5 1 S L4
```

L6 29 S L4 FUL

FILE 'CAPLUS' ENTERED AT 13:44:43 ON 30 MAY 2007

L7 1 S L6

FILE 'REGISTRY' ENTERED AT 13:46:40 ON 30 MAY 2007

L8 STRUCTURE UPLOADED

L9 1 S L8

L10 33 S L8 FUL

FILE 'CAPLUS' ENTERED AT 13:47:23 ON 30 MAY 2007

L11 1 S L10

L12 0 S L11 NOT L7

FILE 'REGISTRY' ENTERED AT 13:48:16 ON 30 MAY 2007

L13 STRUCTURE UPLOADED

L14 1 S L13

L15 35 S L13 FUL

=> s 115 not 110

L16 2 L15 NOT L10

=> d 1-2

L16 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 657407-81-3 REGISTRY

ED Entered STN: 03 Mar 2004

CN 1H-Cyclopenta[c]quinoline-8-carbonitrile, 4-(2-amino-1,1-dimethylethyl)-2,3,3a,4,5,9b-hexahydro-, (3aR,4S,9bS)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H23 N3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 657407-80-2 REGISTRY

ED Entered STN: 03 Mar 2004

CN Carbamic acid, [2-[(3aR,4S,9bS)-8-cyano-2,3,3a,4,5,9b-hexahydro-1H-cyclopenta[c]quinolin-4-yl]-2-methylpropyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C22 H31 N3 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL REGISTRY

COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY 701.01 FULL ESTIMATED COST 176.45 TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -0.78

FILE 'REGISTRY' ENTERED AT 13:49:28 ON 30 MAY 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 MAY 2007 HIGHEST RN 936074-26-9 DICTIONARY FILE UPDATES: 29 MAY 2007 HIGHEST RN 936074-26-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and

predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> SET TERMSET E#

SET COMMAND COMPLETED

=> DEL SEL Y

=> SEL L16 1 RN

E1 THROUGH E1 ASSIGNED

=> S E1/RN

L17 1 657407-81-3/RN

=> SET TERMSET LOGIN

SET COMMAND COMPLETED

=> FIL USPATFULL

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|---------------------|------------------|
| FULL ESTIMATED COST | 0.53 | 701.54 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -0.78 |

FILE 'USPATFULL' ENTERED AT 13:49:32 ON 30 MAY 2007 CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 29 May 2007 (20070529/PD)
FILE LAST UPDATED: 29 May 2007 (20070529/ED)
HIGHEST GRANTED PATENT NUMBER: US7225469
HIGHEST APPLICATION PUBLICATION NUMBER: US2007118942
CA INDEXING IS CURRENT THROUGH 29 May 2007 (20070529/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 May 2007 (20070529/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2006

=> S L17

L18 1 L17

=> DIS L18 1

L18 ANSWER 1 OF 1 USPATFULL on STN

AN 2005:318917 USPATFULL Full-text

TI Novel tetrahydroquinoline derivatives

IN Miyakawa, Motonori, Kyoto, JAPAN

PI US 2005277660 A1 20051215

AI US 2003-522553 A1 20030801 (10)

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WO 2003-JP9815
                               20030801
                               20050201 PCT 371 date
PRAI
       JP 2002-225300
                           20020801
DT
       Utility
       APPLICATION
FS
LN.CNT 1357
INCL
       INCLM: 514/290.000
       INCLS: 546/088.000
       NCLM: 514/290.000
NCL
       NCLS: 546/088.000
IC
       [7]
       ICM
              C07D471-04
       ICS
              A61K031-473
              C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*]; A61K0031-473 [ICS,7]
       IPCI
       IPCR
              A61P0005-00 [I,C*]; A61P0005-24 [I,A]; A61P0007-00 [I,C*];
              A61P0007-00 [I,A]; A61P0007-06 [I,A]; A61P0015-00 [I,C*];
              A61P0015-00 [I,A]; A61P0015-10 [I,A]; A61P0019-00 [I,C*];
              A61P0019-10 [I,A]; A61P0035-00 [I,C*]; A61P0035-00 [I,A];
              A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07D0221-00 [I,C*];
              C07D0221-16 [I,A]; C07D0401-00 [I,C*]; C07D0401-12 [I,A];
              C07D0405-00 [I,C*]; C07D0405-12 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
=> logoff y
COST IN U.S. DOLLARS
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FULL ESTIMATED COST
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
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                                                                SESSION
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-0.78

0.00

STN INTERNATIONAL LOGOFF AT 13:50:04 ON 30 MAY 2007

CA SUBSCRIBER PRICE